PALM INTRANET

Day : Thursday
Date: 4/8/2004
Time: 09:45:50

Inventor Name Search Result

Your Search was:

Last Name = REDDY
First Name = MANNE

Application#	Patent#	Status	Date Filed	Title	Invent
10729856	Not Issued	019	12/04/2003	POLYMORPHIC FORMS OF DIHYDROCHLORIDE SALTS OF CETIRIZINE AND PROCESSES FOR PREPARATION THEREOF	REDD SATY.
10729837	Not Issued	019	12/04/2003	POLYMORPHIC FORMS OF ZIPRASIDONE AND ITS HYDROCHLORIDE SALT AND PROCESS FOR PREPARATION THEREOF	REDD SATY.
10716207	Not Issued	019	11/18/2003	NOVEL ANHYDROUS CRYSTALLINE FORM OF LEVOFLOXACIN AND PROCESS FOR PREPARATION THERE OF	REDD SATY.
10716200	Not Issued	019	11/18/2003	CRYSTALLINE ESOMEPRAZOLE COMPOUNDS AND PROCESS FOR THE PREPARATION THEREOF	REDD SATY.
10653694	Not Issued	019	09/02/2003	PROCESS FOR PREPARATION OF CRYSTALLINE FORM-1 OF PANTOPRAZOLE SODIUM SESQUIHYDRATE	REDD SATY.
10651306	Not Issued	019	08/28/2003	AMORPHOUS HYDRATES OF ESOMEPRAZOLE MAGNESIUM AND PROCESS FOR THE PREPARATION THEREOF	REDD SATY.
10647449	Not Issued	020	08/25/2003	POLYMORPHIC FORMS OF (S)-REPAGLINIDE AND THE PROCESSES FOR PREPARATION THEREOF	REDD SATY.
10629316	Not Issued	020	07/29/2003	CRYSTALLINE FORM OF LOSARTAN POTASSIUM	REDD SATY.
10627399	Not Issued	019	07/25/2003	AMORPHOUS FORM OF 3-[2-(DIMETHYLAMINO) ETHYL]-N-METHYL-1H-INDOLE-5-METHANE SULFONAMIDE SUCCINATE (SUMATRIPTAN SUCCINATE)	REDD SATY.
10626499	Not Issued	019	07/24/2003	PROCESS FOR PREPARATION OF DONEPEZIL	REDD SATY.
10622098	Not Issued	030	07/17/2003	FORMS OF DUTASTERIDE AND METHODS FOR PREPARATION THEREOF	REDD SATY.

10608781	Not Issued	030	06/27/2003	PROCESS FOR PREPARATION OF OPTICALLY PURE OR OPTICALLY ENRICHED SULFOXIDE COMPOUNDS, INCLUDING AMORPHOUS ESOMEPRAZOLE AND SALTS THEREOF	REDD SATY.
10601844	Not Issued	020	06/23/2003	AMORPHOUS FORM OF (-)-[2-[4-[(4-CHLOROPHENYL)-PHENYL METHYL]-1- PIPERAZINYL] ETHOXY] ACETIC ACID DIHYDROCHLORIDE (LEVOCETIRIZINE DIHYDROCHLORIDE)	REDD SATY.

Inventor Search Completed: No Records to Display.

Search Another: Inventor

Last Name Reddy **First Name** Manne

Search

To go back use Back button on your browser toolbar.

Back to PALM | ASSIGNMENT | OASIS | Home page

10/622,098 STN - STRUCTURE SEARCH 4.8-04

=> d ibib abs l2 1-60

L2 ANSWER 1 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60531 CAPLUS
DOCUMENT NUMBER: 140:111577
TITLE: Method for introducing a 1,2 double bond into 3-oxo-4-azasteroid compounds
INVENTOR(S): Schaerer, Norbert; Weber, Beat; Mueller, Beat W.
PATENT ASSIGNEE(S): Siegfried Ltd., Switz.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007523	A1	20040122	WO 2003-CH435	20030702

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: CH 2002-1242 A 20020716
CH 2002-1375 A 20020808
CH 2003-15 A 20030108
OTHER SOURCE(S): CASREACT 140:111577; MARPAT 140:111577
GI For diagram(s), see printed CA Issue.
AB The invention relates to a method for producing 17β-substituted 4-azaandrost-1-en-3-one compds. I [R = OH {if necessary, substituted (un)branched C1-12-alkyl, (C2-12-alkenyl), Ph, CH2Ph}, OR1, NHR1, NR1R2; R1 = H, (un)branched C1-12-alkyl, (C2-12-alkenyl), (un) substituted phenyl; R2 = H, Me, Et, Pr; NR1R2 = 5- or 6-membered ring;], or a pharmaceutically approved salt thereof by (A) introducing protective groups into the 3-keto-4-aza group of the corresponding 1,2-dihydro compound II, thereby producing III [R3 = Si(alkyl)3; R3R4 = C(:O)C(:O), C(:O)YC(:O); R4 = alkoxycarbonyl, phenoxycarbonyl, Si(alkyl)3; Y= (CR5R6)n, CR5:CR6, o-phenylene; R5, R6 = H, (un)branched C1-8-alkyl, alkenyl, (if necessary, substituted Ph, CH2Ph); n = 1 - 4], (B) reacting the compound so obtained in the presence (i) of a dehydrogenation catalyst, and in the presence of (ii) optionally substituted benzoquinone, allyl Me carbonate, allyl Et carbonate and/or allyl Pr carbonate, and, (C) removing the protective groups R3 and R4 and optionally converting the compound so obtained to a salt. Thus, 4-azaandrost-1-en-3-one I [R = NHCMe3] was prepared from 4-azaandrostan-3-one II via N-protection with Boc anhydride in THF containing LDA, enolization with LDA and silylation with Me3SiCl, dehydrogenation with benzoquinone in PhH containing catalytic Pd(OAc)2, and deprotection with CF3CO2H.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 2 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:60325 CAPLUS
DOCUMENT NUMBER: 140:99670
TITLE: Pharmaceutical compositions containing

5α-reductase inhibitors
INVENTOR(S): Besse, Jerome; Besse, Laurence; Taravella, Brigitte
PATENT ASSIGNEE(S): Besins International Belgique, Belg.; Galenix Innovations
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006937	A2	20040122	WO 2003-FR2237	20030715
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2842421	A1	20040123	FR 2002-8964	20020716

PRIORITY APPLN. INFO.: FR 2002-8964 A 20020716

AB The invention relates to a pharmaceutical composition based on at least one 5α-reductase inhibitor as an active substance, which is intended to be administered s.c. The composition is in the form of a non-biodegradable implant enables the prolonged release of active substances. Finasteride 15 and Evatane 15 mg are mixed and extruded at 100°. The extrudate was granulated and the granules were heated to 135° for 1 h. The granules were extruded into a filament. The filament was encapsulated in a polysiloxane tube to give an implant.

L2 ANSWER 3 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:56695 CAPLUS
DOCUMENT NUMBER: 140:121926
TITLE: Novel therapeutic strategies for managing BPH progression
AUTHOR(S): Djavan, B.; Barkin, J.
CORPORATE SOURCE: Department of Urology, University of Vienna, Vienna, Austria
SOURCE: European Urology, Supplements (2003), 2(8), 20-26
CODEN: EUSUAU; ISSN: 1569-9056
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. In Phase III clin. studies, dutasteride has been shown to reduce the risk of acute urinary retention (AUR) by 57% and benign prostatic hyperplasia (BPH)-related surgery by 48%. The Medical Therapy of Prostatic Symptoms (MTOPS) study examined the role of adding an α1-blocker to an older 5α-reductase inhibitor and comparing the combination therapy to the two monotherapies in a long-term (4-yr) trial. Only treatment arms containing a 5α-reductase inhibitor were associated with longer-term significant redns. in the risk of AUR and BPH-related surgery. The Symptom Management After Reducing Therapy (SMART-1) study examined in 327 patients with BPH whether short-term combination therapy with a new dual blockade 5α-reductase inhibitor and an α1-blocker could provide rapid symptom relief that is maintained when the α1-blocker was removed at 24 wk. Patients were

randomized to either 0.5 mg dutasteride plus 0.4 mg tamsulosin for 36 wk or 0.5 mg dutasteride plus 0.4 mg tamsulosin for 24 wk followed by dutasteride alone for the remaining 12 wk. At week 30, 91% of those who continued combination therapy and 77% of patients who had tamsulosin withdrawn at 24 wk felt the "same or better" with respect to their urinary symptoms. The percentages of patients with improved or identical International Prostate Symptom Scores between weeks 24 and 30 were similar in the two groups. Fewer patients with more severe symptoms at baseline reported feeling the same or better at 30 wk compared with patients with moderate symptoms. Therefore dutasteride can be used in short-term combination therapy with tamsulosin in patients with moderate symptoms to achieve fast symptom relief that is maintained when tamsulosin is withdrawn.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 4 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:56694 CAPLUS
DOCUMENT NUMBER: 140:121925
TITLE: The management of prostatic obstruction: how to determine the best options?
AUTHOR(S): Roehrborn, C. G.; McNicholas, T.
CORPORATE SOURCE: Department of Urology, The University of Texas Southwestern Medical Center at Dallas, Dallas, TX, 75390-9110, USA
SOURCE: European Urology, Supplements (2003), 2(8), 13-19
CODEN: EUSUAU; ISSN: 1569-9056
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. The two drug types commonly used to treat symptoms of benign prostatic hyperplasia (BPH), 5α-reductase inhibitors and α1-blockers, have been shown to have different long-term effects on outcomes such as incidence of acute urinary retention (AUR) and BPH-related surgery. In addition, a comparative study of α1-blockers and 5α-reductase inhibitors in men with lower urinary tract symptoms showed that the treatment discontinuation rate is higher with α1-blockers. The risk of treatment failure with α1-blocker therapy has been shown to be related to baseline prostate volume, with greater failure rates with larger prostate sizes. Clin. data are now available on the dual 5α-reductase inhibitor, dutasteride. Three 2-yr phase III randomized, double-blind, placebo-controlled studies have been performed in 4325 men with lower urinary tract symptoms, prostatic enlargement and likely bladder outlet obstruction due to BPH. Compared with placebo, dutasteride significantly improved symptoms from 6 mo onwards ($p < 0.001$). Qmax improved significantly in dutasteride-treated patients from 1 mo, and dutasteride treatment reduced the risk of AUR by 57% and the risk of BPH-related surgical intervention by 48% compared with placebo. Prostate volume was reduced by a mean of 25.9% and 28.5% at 1 and 2 yr, resp., in dutasteride-treated patients. The most common drug-related adverse events for dutasteride vs. placebo were erectile dysfunction (7% vs. 4%), decreased libido (4% vs. 2%), ejaculation disorders (2% vs. < 1%) and gynecomastia (2% vs. < 1 %). Adverse events occurred mostly in the first 6 mo and their occurrence diminished with time.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 5 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:9218 CAPLUS
DOCUMENT NUMBER: 140:52607
TITLE: Dutasteride: a new 5-alpha reductase inhibitor for men

with lower urinary tract symptoms secondary to benign prostatic hyperplasia
AUTHOR(S): Brown, C. T.; Nuttall, M. C.
CORPORATE SOURCE: Clinical Effectiveness Unit, Royal College of Surgeons of England, London, UK
SOURCE: International Journal of Clinical Practice (2003), 57(8), 705-709
CODEN: IJCPF9; ISSN: 1368-5031
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Dutasteride is a new 5-alpha reductase inhibitor for the treatment of men with moderate to severe lower urinary tract symptoms secondary to benign prostatic hyperplasia. It has been available in the UK since Mar. 2003. It is a competitive inhibitor of both type I and type II isoforms of the 5-alpha reductase enzyme that converts testosterone to the more potent androgen, dihydrotestosterone. Randomised controlled studies have shown dutasteride to be statistically more effective than placebo in reducing lower urinary tract symptoms and increasing maximum urinary flow rates. This is a consequence of a reduction in serum dihydrotestosterone and hormone dependent prostate volume Dutasteride has also been shown to decrease the absolute risk of urinary retention and the need for prostate-related surgery when compared to placebo taken over a 24-mo period. In this review article authors discuss the pharmacol. and clin. effects of dutasteride, a new dual-acting 5-alpha reductase inhibitor.
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 6 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1007852 CAPLUS
DOCUMENT NUMBER: 140:47560
TITLE: Pharmaceutical compositions and dosage forms for administration of hydrophobic drugs
INVENTOR(S): Chen, Feng-Jing; Patel, Mahesh V.; Fikstad, David T.; Zhang, Huiping; Gilyar, Chandrashekar
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Pat. Appl. 2002 32,171.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 12
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003236236	A1	20031225	US 2003-444935	20030522
US 6267985	B1	20010731	US 1999-345615	19990630
US 6309663	B1	20011030	US 1999-375636	19990817
US 2001024658	A1	20010927	US 2000-751968	20001229
US 6458383	B2	20021001		
US 2002032171	A1	20020314	US 2001-877541	20010608

PRIORITY APPLN. INFO.: US 1999-345615 A2 19990630
US 1999-375636 A2 19990817
US 2000-716029 A2 20001117
US 2000-751968 A2 20001229
US 2001-877541 A2 20010608
WO 2000-US18807 A 20000710

AB Pharmaceutical compns. and dosage forms for administration of hydrophobic drugs, particularly steroids, are provided. The pharmaceutical compns. include a therapeutically effective amount of a hydrophobic drug, preferably

a steroid; a solubilizer, preferably a vitamin E substance; and a surfactant. The synergistic effect between the hydrophobic drug and the vitamin E substance results in a pharmaceutical formulation with improved dispersion of both the active agent and the solubilizer. As a result of the improved dispersion, the pharmaceutical composition has improved bioavailability upon administration. Methods of improving the bioavailability of hydrophobic drugs are also provided. For example, a dispersion was formulated containing dl-α-tocopherol 313, Cremophor EL 256, dehydrated alc. 70, and progesterone 60 mg.

L2 ANSWER 7 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:1006763 CAPLUS
DOCUMENT NUMBER: 140:36386
TITLE: Modulation of glucocorticoid receptor activity by 5α-reduced metabolic breakdown products of glucocorticoids in relation to therapy
INVENTOR(S): Walker, Brian Robert; Andrew, Ruth
PATENT ASSIGNEE(S): The University of Edinburgh, UK
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003105838	A2	20031224	WO 2003-GB2597	20030616

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2002-13745 A 20020614
AB The present invention relates to the modulation of glucocorticoid metabolism In particular the invention relates to the modulation of the functional activity of the glucocorticoid receptor by 5α-reduced metabolic breakdown products of glucocorticoids. A method is claimed for inhibiting one or more glucocorticoid mediated effects or conditions [obesity, insulin resistance, polycystic ovary syndrome, diabetes mellitus, skin disorders (hirsutism, acne) cognitive impairment, and glucocorticoid-associated mood disturbance] by inhibiting the activity of 5α-reduced metabolites. A method is claimed for the treatment of one or more inflammatory conditions in a patient comprising the step of increasing the functional activity of one or more 5α-reduced metabolites in the one or more sites of inflammation of a patient. Addnl. claimed is a composition comprising one or more 5α-reduced metabolites and a physiol. acceptable carrier diluent or excipient. Also claimed is a method for modulating angiogenesis within a population of cells comprising the step of modulating the functional activity of one or more 5α-reduced metabolites.

L2 ANSWER 8 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:927549 CAPLUS
DOCUMENT NUMBER: 139:390566
TITLE: Dutasteride

AUTHOR(S): Evans, Hannah C.; Goa, Karen L.
CORPORATE SOURCE: Adis International Limited, Auckland, N. Z.
SOURCE: Drugs & Aging (2003), 20(12), 905-916
CODEN: DRAGE6; ISSN: 1170-229X
PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. Dutasteride, a potent inhibitor of type 1 and 2 5α-reductase, reduced dihydrotestosterone levels by >90% in 85% of patients following 1 yr' administration of oral dutasteride 0.5 mg/day. A combined anal. of three placebo-controlled clin. studies conducted in patients with benign prostatic hyperplasia (BPH) found sustained improvements in American Urol. Association-Symptom Index scores and urinary flow rate and a 57% decrease in the risk of acute urinary retention throughout the 2-yr treatment period (all $p < 0.001$ vs. placebo). Total prostate and transition zone volume were also reduced (both $p < 0.001$), as was the risk of BPH-related surgery (by 48%). A nonblind extension study found that dutasteride maintains efficacy for up to 4 yr. Dutasteride monotherapy maintained symptom relief following combination treatment with dutasteride and tamsulosin in all patients but those with severe symptoms. Dutasteride was generally well tolerated. Impotence, reduced libido, gynaecomastia and ejaculation disorder occurred significantly more often in dutasteride than placebo recipients, but incidence was generally low. With the exception of gynaecomastia, incidence consistently decreased over time.

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 9 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:923067 CAPLUS
DOCUMENT NUMBER: 139:374522
TITLE: Alpha-blocker therapy can be withdrawn in the majority of men following initial combination therapy with the dual 5α-reductase inhibitor dutasteride
AUTHOR(S): Barkin, J.; Guimaraes, M.; Jacobi, G.; Pushkar, D.; Taylor, S.; van Vierssen Trip, O. B.
CORPORATE SOURCE: SMART-1 Investigator Group, Humber River Regional Hospital/The Male Health Centres - CMX, Toronto, ON, M6A 3B5, Can.
SOURCE: European Urology (2003), 44(4), 461-466
CODEN: EUURAV; ISSN: 0302-2838
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The Symptom Management After Reducing Therapy (SMART 1) study examined the combination of the dual action 5α-reductase inhibitor (5ARI) dutasteride, and α1-blocker tamsulosin, followed by withdrawal of tamsulosin in men with symptomatic BPH. 327 BPH patients were randomised to 0.5 mg dutasteride and 0.4 mg tamsulosin for 36 wk (DT36) or 0.5 mg dutasteride and 0.4 mg tamsulosin for 24 wk followed by dutasteride and tamsulosin matched placebo for the remaining 12 wk (DT24 + D12). Patients' assessment of their symptoms, IPSS at weeks 24, 30, and drug safety were evaluated. 77% Of DT24 + D12 patients felt the same/better at week 30 compared with week 24 (changes in IPSS were consistent with this finding). Of those subjects with an IPSS <20 who changed to dutasteride monotherapy at week 24, 84% switched without a noticeable deterioration in their symptoms. In the 27% of men with severe baseline symptoms (IPSS ≥20) who had withdrawal of tamsulosin therapy at week 24, 42.5% reported a worsening of their symptoms compared with 14% in the DT36 group. The regimens were well tolerated. Dutasteride can be used in a 24-wk combination with tamsulosin, to achieve rapid onset of symptom relief in patients at risk of underlying disease progression. This

symptom relief is maintained in the majority of patients after the α1-blocker is removed from the combination. Patients with severe symptoms may benefit from longer-term combination therapy.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 10 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:913005 CAPLUS
DOCUMENT NUMBER: 139:391384
TITLE: Use of inhibitors of EGFR-mediated signal transduction for the treatment of benign prostatic hyperplasia (BPH)/prostatic hypertrophy
INVENTOR(S): Singer, Thomas; Colbatzky, Florian; Platz, Stefan
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094921	A2	20031120	WO 2003-EP4606	20030502
WO 2003094921	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10221018	A1	20031127	DE 2002-10221018	20020511
US 2003225079	A1	20031204	US 2003-431699	20030508

PRIORITY APPLN. INFO.: DE 2002-10221018 A 20020511
US 2002-389815P P 20020618

OTHER SOURCE(S): MARPAT 139:391384

AB The invention discloses the use of EGF-receptor antagonists for the production of a medicament to prevent and/or treat benign prostatic hyperplasia and/or prostatic hypertrophy, as well as a method for the treatment or prevention of benign prostatic hyperplasia/prostatic hypertrophy involving the administration of an EGF-receptor antagonist, optionally in combination with known compds. for the treatment of benign prostatic hyperplasia/prostatic hypertrophy, and the corresponding pharmaceutical compns. Compds. of the invention include e.g. quinazoline derivs. and monoclonal antibodies. Preparation of 4-[(3-chloro-4-fluorophenyl)amino]-6-[(4-(N-(2-methoxyethyl)-N-methylamino)-1-oxo-2-buten-1-yl)amino]-7-cyclopropylmethoxyquinazoline is described.

L2 ANSWER 11 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:875116 CAPLUS
DOCUMENT NUMBER: 139:341793
TITLE: Pharmaceutical combination for the treatment of benign prostatic hyperplasia or for the long-term prevention of acute urinary retention
INVENTOR(S): Baiker, Wolfgang; Mehlburger, Ludwig

PATENT ASSIGNEE(S): Boehringer Ingelheim, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003090753	A1	20031106	WO 2003-EP4034	20030417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10218392	A1	20031106	DE 2002-10218392	20020424
DE 10218611	A1	20031106	DE 2002-10218611	20020425
US 2003225118	A1	20031204	US 2003-422509	20030424

PRIORITY APPLN. INFO.: DE 2002-10218392 A 20020424
DE 2002-10218611 A 20020425

AB The present invention relates to a new pharmaceutical combination for treating benign prostatic hyperplasia or for the long-term prevention of acute urinary retention. The pharmaceutical combinations include tamsulosin or an acid addition salt thereof, with a 5α-reductase inhibitors, such as finasteride and dutasteride.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 12 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:784404 CAPLUS
DOCUMENT NUMBER: 140:157649
TITLE: Testosterone metabolism in human skin cells in vitro and its interaction with estradiol and dutasteride
AUTHOR(S): Muenster, U.; Hammer, S.; Blume-Peytavi, U.; Schaefer-Korting, M.
CORPORATE SOURCE: Institut fuer Pharmazie, Abteilung fuer Pharmakologie und Toxikologie, Freie Universitaet Berlin, Berlin, Germany
SOURCE: Skin Pharmacology and Applied Skin Physiology (2003), 16(6), 356-366
CODEN: SPAPFF; ISSN: 1422-2868
PUBLISHER: S. Karger AG
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Since the limited knowledge of cutaneous drug metabolism can impair the development of specifically acting topical dermatics and transdermal application systems, the cell-type-specific androgen metabolism in human skin and its inhibition by drugs were investigated. Cultured human foreskin and scalp skin keratinocytes and fibroblasts as well as occipital scalp dermal papilla cells (DPC) were incubated with testosterone 10-6 and 10-8M alone and in the presence of 17α-estradiol, 17β-estradiol or dutasteride for 24 h. Androgens extracted from culture supernatants were subjected to thin-layer chromatog. and quantified by β-counting. In keratinocytes and DPC, dihydrotestosterone (DHT) was only formed to a low extent while androstenedione was the main metabolite. In fibroblasts, DHT

formation was pronounced following 10-8 M testosterone. Dutasteride 10-8 M completely suppressed the 5α-dihydro metabolite formation. 17α-Estradiol and 17β-estradiol at nontoxic concns. decreased 17-keto-metabolites. Human skin regulates testosterone action by cell-type-specific activation or deactivation. Effects of 17α-estradiol in androgenetic alopecia are not due to 5α-reductase inhibition. Dutasteride may be useful in acne and androgenetic alopecia.

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 13 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:734115 CAPLUS
DOCUMENT NUMBER: 139:285916
TITLE: Improvements in benign prostatic hyperplasia-specific quality of life with dutasteride, the novel dual 5α-reductase inhibitor
AUTHOR(S): O'Leary, M. P.; Roehrborn, C.; Andriole, G.; Nickel, C.; Boyle, P.; Hofner, K.
CORPORATE SOURCE: Department of Surgery, Division of Urology, Brigham and Women's Hospital, Harvard Medical School, Boston, USA
SOURCE: BJU International (2003), 92(3), 262-266
CODEN: BJINFO; ISSN: 1464-4096
PUBLISHER: Blackwell Publishing Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The objective of this study was to examine the effect of the dual-action 5α-reductase inhibitor dutasteride on benign prostatic hyperplasia (BPH)-specific health status, as measured by the BPH Impact Index (BII), and to identify baseline and treatment risk factors for those most bothered by their BPH symptoms at the end of the protocol. PATIENTS AND METHODS Data were derived from three randomized, double-blind, placebo-controlled, 2-yr studies conducted in 4325 men with lower urinary tract symptoms caused by benign prostatic enlargement. Each study comprised a 1-mo single-blind placebo run-in period, followed by randomization to oral dutasteride 0.5 mg once daily or placebo for 2 yr. Patients eligible for inclusion were consenting men aged ≥ 50 yr with moderate to severe symptoms (American Urol. Symptom Index, AUA-SI, score ≥ 12), a prostate volume of ≥ 30 mL, a serum prostate-specific antigen (PSA) level of ≥ 1.5 or < 10 ng/mL, and a maximum urinary flow rate (Qmax) of ≤ 15 mL/s. BII scores were recorded at baseline and each study visit. Clin. and statistically significant changes in BII scores from baseline were investigated for each study visit. Logistical regression anal. was used to assess the significance of baseline prostate volume, symptoms, BII item 3, baseline Qmax serum dihydrotestasterone, testosterone, PSA, age and weight in predicting the BII score at 2 yr. RESULTS Dutasteride, but not placebo, resulted in clin. and statistically significant improvements in mean BII score from 6 mo. Of patients with a baseline BII score of ≥ 5 (greatest symptomatic burden) treatment with dutasteride improved the scores by 2.41, while the scores in placebo-treated patients only improved by 1.64. Dutasteride-treated patients with a baseline BII score of ≤ 5 (least symptom burden) had a clin. significant improvement in health status, while placebo-treated patients deteriorated. Regression anal. showed that men with a combination of a baseline BII item-3 score of 3 (bothered a lot) and a high symptom score (AUA-SI ≥ 20) were more likely to be bothered by their symptoms at the end of the study. Men receiving placebo were also more likely to be bothered at the end of the study than were those receiving dutasteride. CONCLUSIONS Dutasteride treatment is associated with clin. significant improvements in BII score, reflecting improvements in the quality of life of men with BPH. Taken

together with previously reported improvements in prostate volume, lower urinary tract symptoms and urinary flow, and diminution of the risk of acute urinary retention and the need for BPH-related surgery, dutasteride offers demonstrable efficacy in the management of BPH.
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 14 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:621467 CAPLUS
DOCUMENT NUMBER: 139:239479
TITLE: Safety and tolerability of the dual 5α-Reductase inhibitor dutasteride in the treatment of benign prostatic hyperplasia
AUTHOR(S): Andriole, Gerald L.; Kirby, Roger
CORPORATE SOURCE: Division of Urologic Surgery, Washington University School of Medicine, St. Louis, MO, 63110, USA
SOURCE: European Urology (2003), 44(1), 82-88
CODEN: EUURAV; ISSN: 0302-2838
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. The objective of this paper is to examine safety and tolerability data from a number of recently completed clin. trials with the novel, dual 5α-reductase inhibitor, dutasteride. Intent-to-treat analyses were conducted on data for dutasteride 0.5 mg/day for drug-related adverse events, clin. laboratory test results, and prostate-specific antigen (PSA) levels derived from four large, randomized, double-blind clin. trials (n = 5655). Further data were derived from a randomized, double-blind combination study of dutasteride 0.5 mg/day and tamsulosin 0.4 mg/day (n = 327), and several safety studies conducted in healthy volunteers. Data from two-year blinded clin. studies demonstrate that dutasteride is well tolerated, with a profile comparable with that of placebo. The exception is a modestly elevated incidence of impotence, decreased libido, ejaculation disorders, and gynecomastia. Clin. laboratory test abnormalities were reported by < 1% of patients treated with dutasteride, and abnormal values occurred with similar frequency vs. placebo-treated patients. In a healthy volunteer study, when dutasteride was administered daily for 1 yr, it did not significantly affect bone metabolism markers, bone mineral d. or lipid profiles. Dutasteride reduced total serum PSA concns. by .apprx. 50% following 6, 12, and 24 mo of treatment but had no effect on free-to-total PSA levels. The safety profile of dutasteride did not differ from that of finasteride in a large, parallel-group, comparator trial. Addnl., when dutasteride was used in combination with an α1-blocker, the drug-related adverse event profiles were as would be expected for the individual agents. Considered together, these data demonstrate dutasteride to be well-tolerated.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 15 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:591136 CAPLUS
DOCUMENT NUMBER: 139:149414
TITLE: Process for preparation of 2,5-bis(trifluoromethyl)nitrobenzene by nitration
INVENTOR(S): Shimizu, Tamaki
PATENT ASSIGNEE(S): Asahi Glass Company, Limited, Japan
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062187	A1	20030731	WO 2003-JP660	20030124

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2002-17229 A 20020125

OTHER SOURCE(S): CASREACT 139:149414

AB This invention pertains to a method for producing 2,5-bis(trifluoromethyl)nitrobenzene from an industrially easily available material in high yield through a small number of steps under mild reaction conditions. 1,4-Bis(trifluoromethyl)benzene is nitrated with nitric acid in a solvent comprising as an essential ingredient an acid selected between sulfuric acid having a sulfuric acid concentration of 91 to 100 weight% and fuming sulfuric acid having a sulfur trioxide concentration higher than 0 weight% and not higher than 20 weight%.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 16 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:334829 CAPLUS

DOCUMENT NUMBER: 138:343889

TITLE: Novel pharmaceutical compounds containing drugs bound to polypeptides

INVENTOR(S): Picariello, Thomas

PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 4662 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003034980	A2	20030501	WO 2001-US43089	20011114
WO 2003034980	C1	20031120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1401374	A1	20040331	EP 2001-274606	20011114

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: US 2000-274622P P 20001114
WO 2001-US43089 W 20011114

AB Compns. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

L2 ANSWER 17 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:334375 CAPLUS
DOCUMENT NUMBER: 138:343878
TITLE: Buccal sprays or capsules containing drugs for treating an infectious disease or cancer
INVENTOR(S): Dugger, Harry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 537,118.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003082107	A1	20030501	US 2002-230080	20020829
WO 9916417	A1	19990408	WO 1997-US17899	19971001
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 1029536	A1	20000823	EP 2000-109347	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1036561	A1	20000920	EP 2000-109357	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2004019912	A2	20040311	WO 2003-US26860	20030827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
WO 1997-US17899 A2 19971001
US 2000-537118 A2 20000329
EP 1997-911621 A3 19971001
US 2002-230080 A 20020829

AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent,

active compound, and optional flavoring agent; formulation B: aqueous polar solvent, active compound, optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compound, and optional flavoring agent; and formulation D: non-polar solvent, active compound, optional flavoring agent, and propellant. Thus, a polar lingual spray contained albuterol sulfate 0.1-10, water 5-90, ethanol 1-10, sorbitol 0.1-5, aspartame 0.01-0.5, and flavors 0.1-5%.

L2 ANSWER 18 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:319256 CAPLUS
DOCUMENT NUMBER: 138:343855
TITLE: Buccal sprays or capsules containing drugs for treating endocrine disorders
INVENTOR(S): Dugger, Harry A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 12 pp., Cont.-in-part of U.S. Ser. No. 537,118.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 10
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003077228	A1	20030424	US 2002-230073	20020829
WO 9916417	A1	19990408	WO 1997-US17899	19971001
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
EP 1029536	A1	20000823	EP 2000-109347	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1036561	A1	20000920	EP 2000-109357	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
WO 2004019911	A2	20040311	WO 2003-US26857	20030827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:
WO 1997-US17899 A2 19971001
US 2000-537118 A2 20000329
EP 1997-911621 A3 19971001
US 2002-230073 A 20020829

AB Buccal aerosol sprays or capsules using polar and non-polar solvent have now been developed which provide biol. active compds. for rapid absorption through the oral mucosa, resulting in fast onset of effect. The buccal polar compns. of the invention comprise formulation A: aqueous polar solvent, active compound, and optional flavoring agent; formulation B: aqueous polar

solvent, active compound, optionally flavoring agent, and propellant; formulation C: non-polar solvent, active compound, and optional flavoring agent; and formulation D: non-polar solvent, active compound, optional flavoring agent, and propellant. Thus, a polar solvent formulation contained glyburide 0.6-10, EtOH 70-97, water 0.2-2, flavors 0.1-2.5, and propellant 3-4%.

L2 ANSWER 19 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:52527 CAPLUS
DOCUMENT NUMBER: 139:78391
TITLE: Prediction of biological activity spectra for substances: evaluation on the diverse sets of drug-like structures
AUTHOR(S): Stepanchikova, A. V.; Lagunin, A. A.; Filimonov, D. A.; Poroikov, V. V.
CORPORATE SOURCE: Institute of Biomedical Chemistry RAMS, Moscow, 119121, Russia
SOURCE: Current Medicinal Chemistry (2003), 10(3), 225-233
CODEN: CMCHE7; ISSN: 0929-8673
PUBLISHER: Bentham Science Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The concept of Biol. Activity Spectrum served as a basis for developing PASS (Prediction of Activity Spectra for Substances) software product. PASS predicts simultaneously more than 780 pharmacol. effects and biochem. mechanisms based on the structural formula of a substance. It may be used for finding new targets (mechanisms) for known pharmaceuticals and for searching new biol. active substances. PASS prediction ability was evaluated by activity spectra prediction for 63 substances that are presented in the Mol. of the Month section of Prous Science, belong to different chemical classes and reveal various types of biol. activity. Mean accuracy of prediction turned out to be about 90%; therefore, it is reasonable to use PASS for finding and optimizing new lead compds. A web-site with a new internet version of PASS is introduced into practice in Dec. 2001. On the site, one can find a detailed description of the PASS approach as well as some examples of its applications, and estimate the quality of prediction by submitting structures of substances with known activities.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 20 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:8976 CAPLUS
DOCUMENT NUMBER: 139:206753
TITLE: Current concepts in the pharmacotherapy of benign prostatic hyperplasia
AUTHOR(S): Khastgir, Jay; Arya, Manit; Shergill, Iqbal S.; Kalsi, Jas S.; Minhas, Sux; Mundy, Anthony R.
CORPORATE SOURCE: Institute of Urology, London, W1W 7EY, UK
SOURCE: Expert Opinion on Pharmacotherapy (2002), 3(12), 1727-1737
CODEN: EOPHF7; ISSN: 1465-6566
PUBLISHER: Ashley Publications Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Benign prostatic hyperplasia is a major men's health issue, with .apprx.80% of all men developing this condition within their lifetime. A variety of oral treatments is available, including α-adrenoceptor antagonists (α-blockers), 5α-reductase inhibitors, aromatase inhibitors and phytotherapy. A large number of α-blockers can be administered, but no single agent has demonstrated a clear superiority over the other drugs. 5αReductase inhibitors

have demonstrated similar efficacy in larger volume prostates but most evidence suggests that there is no benefit in combining them with α-blockers. The use of phytotherapy is not entirely novel but requires further long-term evaluation before it can be endorsed for clin. use in benign prostatic hyperplasia.

REFERENCE COUNT: 109 THERE ARE 109 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 21 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:881786 CAPLUS
DOCUMENT NUMBER: 139:46090
TITLE: The hair in childhood and old age
AUTHOR(S): Gelmetti, Carlo; Bellinvia, Monica; Restano, Lucia
CORPORATE SOURCE: Unit of Pediatric Dermatology, Inst. of Dermatological Sciences Univ. of Milan, Milan, Italy
SOURCE: Journal of Applied Cosmetology (2002), 20(3), 195-200
CODEN: JACOEL; ISSN: 0392-8543
PUBLISHER: International Ediemme
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. More than 20 syndromes, most congenital, have hypertrichosis as a feature. An excessive growth of non-androgen-dependent hair has been reported in association with many acquired diseases and medications, some of which, as cyclosporine, can be administered also in children. Even though primary hypertrichosis is benign in most cases, it may result in cosmetic disfigurement and psychosocial trauma; a pediatric assessment is necessary to rule out associated diseases. Lanugo hair can occur in otherwise healthy individuals but can be associated with polymyositis and neoplasms. Hirsutism can be idiopathic, but often can be associated with an adrenal or ovarian cause. Thus all women with hirsutism require careful evaluation. More, growing evidence has linked hyperandrogenism to increased risk of cardiovascular disease, genital tract neoplasms, and non-insulin-dependent diabetes mellitus. An application from the study of hairs comes from oligoelements. A recent study investigating the zinc status of eighty newborn babies with neural tube defects and their mothers compared with controls found a pos. association between this defects and decreased hair zinc levels. As far it concerns the color of hairs our group has demonstrated that heterochromia of the scalp hair can be a sign of pigmentary mosaicism even without underlying malformations. The present elucidation of pathogenesis of androgenetic alopecia has lead to second generation steroidal 5α reductase inhibitors, such as GI-198745 (a combined type 1 and type 2, 5α reductase blocker), W09704002, Turosteride, Mk-963, MK-434, Epristeride, and MK-386. A variety of non-steroidal inhibitors such as zinc and saw palmetto are also under investigation. The possibility of gene therapy for androgenetic alopecia has been advanced in animal by the development of a cream capable to deliver DNA to hair follicles. Finally, the study of the stem cells of the hair follicle will give us new possibilities of treatment.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 22 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:720795 CAPLUS
DOCUMENT NUMBER: 138:280580
TITLE: FDA new drug approvals in 2001
AUTHOR(S): Zhao, Kang; He, Lan; Reiner, John
CORPORATE SOURCE: The College of Pharmaceuticals and Biotechnology, Tianjin University, Peop. Rep. China
SOURCE: Frontiers of Biotechnology & Pharmaceuticals (2002), 3, 400-413
CODEN: FBPRBL

PUBLISHER: Science Press New York Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review covering the 24 new drugs approved by the Food and Drug Administration in the year 2001. Therapeutics are grouped according to the following coded areas: (A) agents affecting neurotransmitters and cytokines, (B) antiinflammatory agents, (C) hormone related agents, (D) anti-infectious agents, and (E) miscellaneous agents. A synopsis for each drug includes a brief description of its medical utility, a mechanism of action if known, a chemical structure, and a pathway for its synthesis.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 23 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:556104 CAPLUS
DOCUMENT NUMBER: 137:109489
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099013	A1	20020725	US 2001-933708	20010822

PRIORITY APPLN. INFO.:

US 2000-247556P	P	20001114
US 2000-247558P	P	20001114
US 2000-247559P	P	20001114
US 2000-247560P	P	20001114
US 2000-247561P	P	20001114
US 2000-247594P	P	20001114
US 2000-247595P	P	20001114
US 2000-247606P	P	20001114
US 2000-247607P	P	20001114
US 2000-247608P	P	20001114
US 2000-247609P	P	20001114
US 2000-247610P	P	20001114
US 2000-247611P	P	20001114
US 2000-247612P	P	20001114
US 2000-247620P	P	20001114
US 2000-247621P	P	20001114
US 2000-247634P	P	20001114
US 2000-247635P	P	20001114
US 2000-247698P	P	20001114
US 2000-247699P	P	20001114
US 2000-247700P	P	20001114
US 2000-247701P	P	20001114
US 2000-247702P	P	20001114
US 2000-247797P	P	20001114
US 2000-247798P	P	20001114
US 2000-247799P	P	20001114
US 2000-247800P	P	20001114
US 2000-247801P	P	20001114
US 2000-247802P	P	20001114
US 2000-247803P	P	20001114
US 2000-247804P	P	20001114

US 2000-247805P P 20001114
US 2000-247807P P 20001114
US 2000-247832P P 20001114
US 2000-247833P P 20001114
US 2000-247926P P 20001114
US 2000-247927P P 20001114
US 2000-247928P P 20001114
US 2000-247929P P 20001114
US 2000-247930P P 20001114

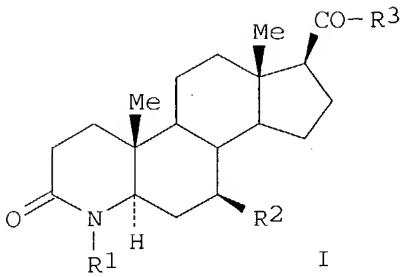
AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

L2 ANSWER 24 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:449695 CAPLUS
DOCUMENT NUMBER: 137:20508
TITLE: Preparation of 3-oxo-4-azasteroids via stereoselective hydrogenation
INVENTOR(S): Davis, Roman; Millar, Alan; Sterbenz, Jeffrey Thomas
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

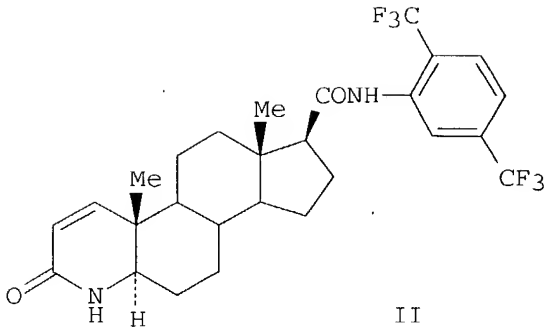
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046207	A2	20020613	WO 2001-US48173	20011102
WO 2002046207	A3	20030320		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002041624	A5	20020618	AU 2002-41624	20011102
EP 1335930	A2	20030820	EP 2001-988307	20011102
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015089	A	20031007	BR 2001-15089	20011102
US 2004049042	A1	20040311	US 2003-415922	20030505

PRIORITY APPLN. INFO.: GB 2000-26876 A 20001103
WO 2001-US48173 W 20011102

OTHER SOURCE(S): CASREACT 137:20508; MARPAT 137:20508
GI



I



II

AB An improved process for preparing steroids, such as 3-oxo-4-azasteroids of formula I [R1 = H, OH, alkyl, aryl, heteroarom. group; R2 = H, alkyl, aryl, heteroarom. group; R3 = H, OH, alkyl, alkoxy, aryl, (substituted) NH2, etc.], is described. Compds. of this type are known to be useful in the preparation of compds. having 5α-reductase inhibitor activity. The process comprises the hydrogenation of the corresponding steroid alkene in the presence of ammonium acetate, ammonium formate, and/or ammonium propionate and an appropriate catalyst. Thus, 3-oxo-4-aza-5-androstene-17β-carboxylic acid (preparation given) was hydrogenated with ammonium acetate and PtO2 to give 3-oxo-4-aza-5α-androstane-17β-carboxylic acid with a high α:β ratio. 3-Oxo-4-aza-5α-androstane-17β-carboxylic acid was reacted with DDQ and bis(trimethylsilyl)trifluoroacetamide (BSTFA), then SOCl2 and 2,5-bis(trifluoromethyl)aniline to give II.

L2 ANSWER 25 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:431469 CAPLUS
DOCUMENT NUMBER: 137:41205
TITLE: Dihydrotestosterone and the concept of 5α-reductase inhibition in human benign prostatic hyperplasia
AUTHOR(S): Bartsch, G.; Rittmaster, R. S.; Klocker, H.
CORPORATE SOURCE: Department of Urology, University of Innsbruck, Innsbruck, 6020, Austria
SOURCE: World Journal of Urology (2002), 19(6), 413-425
CODEN: WJURDJ; ISSN: 0724-4983
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English

AB A review. The development of human benign prostatic hyperplasia (BPH) clearly requires a combination of testicular androgens and the ageing process. Although the role of androgens as the causative factor for human benign prostatic hyperplasia is debated, they undoubtedly play, at least, a permissive role. The principal prostatic androgen is dihydrotestosterone. Although not elevated in human benign prostatic hyperplasia, dihydrotestosterone levels in the prostate remain at a normal level with ageing, despite a decrease in the plasma testosterone. Dihydrotestosterone (DHT) is generated by a reduction in testosterone. Two isoenzymes of 5α-reductase have been discovered. Type 1 is present in most tissues in the body where 5α-reductase is expressed, and is the dominant form in sebaceous glands. Type 2 5α-reductase is the dominant isoenzyme in genital tissues, including the prostate. Finasteride is a 5α-reductase inhibitor that has been used to treat BPH and male-pattern baldness. At doses used clin., its major effect is to suppress type 2 5α-reductase, because it has a much lower affinity for the type 1 isoenzyme. Finasteride suppresses DHT by about

70% in serum and by as much as 85%-90% in the prostate. The remaining DHT in the prostate is likely to be the result of type 1 5α-reductase. The suppression of both 5α-reductase isoenzymes with GI198745 results in greater and more consistent containment of serum dihydrotestosterone than that observed with a selective inhibitor of type 2 5α-reductase. Physiol. and clin. studies comparing dual 5α-reductase inhibitors, such as GI198745, with selective type 2, such as finasteride, will be needed to determine the clin. relevance of type 1 5α-reductase within the prostate. There have been two large, international multicenter, phase III trials published documenting the safety and efficacy of finasteride in treating human benign prostatic hyperplasia. Combining these two studies, randomized, controlled data are available for 12 mo. Non-controlled extension of these data from a subset of patients, who elected to continue on the drug for 3, 4 and 5 yr, are also available. Long-term medical therapy with finasteride can reduce clin. significant endpoints, such as acute urinary retention or surgery. According to the meta-anal. of six randomized, clin. trials with finasteride, finasteride is most effective in men with large prostates. A more effective dual inhibitor of type 1 and 2 human 5α-reductase may lower circulating dihydrotestosterone to a greater extent than finasteride and show advantages in treating human benign prostatic hyperplasia and other disease states that depend on dihydrotestosterone. A clin. evaluation of potent dual 5α-reductase inhibitors may help to define the relative roles of human type 1 and 2 5α-reductase in the pathophysiol. of benign prostatic hyperplasia and other androgen-dependent diseases.

REFERENCE COUNT: 113 THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 26 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:332011 CAPLUS
DOCUMENT NUMBER: 136:355482
TITLE: Compositions comprising a polypeptide and an active agent
INVENTOR(S): Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PATENT ASSIGNEE(S): New River Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034237	A1	20020502	WO 2001-US26142	20010822
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6716452	B1	20040406	US 2000-642820	20000822
AU 2001086599	A5	20020506	AU 2001-86599	20010822
EP 1311242	A1	20030521	EP 2001-966056	20010822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: US 2000-642820 A 20000822
WO 2001-US26142 W 20010822

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the composition to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepared from Glu(OBut)NCA and cephalexin hydrochloride.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 27 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:89809 CAPLUS
DOCUMENT NUMBER: 136:139844
TITLE: Compositions useful for regulating hair growth containing metal complexes of oxidized carbohydrates
INVENTOR(S): Gardlik, John Michael; Severynse-Stevens, Diana; Comstock, Bryan Gabriel
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007700	A2	20020131	WO 2001-US23425	20010725
WO 2002007700	C1	20031030		
WO 2002007700	A3	20020829		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002119174	A1	20020829	US 2001-909440	20010719

PRIORITY APPLN. INFO.: US 2000-220756P P 20000726

AB A stable cosmetic, dermatol., or pharmaceutical composition comprising: (a) about 0.001-99.9%, by weight, of at least one metal complex of an oxidized carbohydrate, wherein the metal complex of an oxidized carbohydrate is neither zinc gluconate, manganese gluconate, nor lithium gluconate; and (b) about 0.1-99.999%, by weight, of a vehicle, wherein the vehicle comprises at least about 5%, by weight of the composition, of propylene glycol. The composition is administered orally, parenterally or topically. For example, a topical composition was prepared containing zinc lactobionate 5.0%, zinc gluconate 3.0%, minoxidil 2.5%, propylene glycol 8.0%, dimethylisosorbide 19.0%, and ethanol and minors up to 100%.

L2 ANSWER 28 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:89795 CAPLUS
DOCUMENT NUMBER: 136:139843
TITLE: Method of regulating hair growth using metal complexes of oxidized carbohydrates

INVENTOR(S): Gardlik, John Michael; Severynse-Stevens, Diana; Comstock, Bryan Gabriel
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002007685	A2	20020131	WO 2001-US23424	20010725
WO 2002007685	C1	20031030		
WO 2002007685	A3	20020829		

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002035070	A1	20020321	US 2001-909441	20010719

PRIORITY APPLN. INFO.: US 2000-220755P P 20000726

AB A method for regulating the growth of hair comprising administering to a mammal, an effective amount of a composition comprising: (a) about 0.001-99.9%, by weight, of at least one metal complex of an oxidized carbohydrate, wherein the metal complex of an oxidized carbohydrate is neither zinc gluconate nor manganese gluconate; and (b) about 0.1-99.999%, by weight, of a vehicle. The composition is administered orally, parenterally, or topically. For example, a topical composition contained zinc lactobionate 5.0%, zinc gluconate 1.0%, zinc pyrithione 1.0%, Tween 20 1.0%, propylene glycol 10.0%, dimethylisosorbide 18.0%, EtOH 30.0%, and water and minors up to 100%. Also, tablets were prepared containing zinc lactobionate 100 mg, Crospovidone 15 mg, lactose 200 mg, microcryst. cellulose 80 mg, and magnesium stearate 5 mg.

L2 ANSWER 29 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:886779 CAPLUS
DOCUMENT NUMBER: 136:644
TITLE: Dutasteride to prevent and treat atherosclerosis and its complications
INVENTOR(S): Weisman, Kenneth; Goldberg, Michael E.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 3 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001048942	A1	20011206	US 2001-851454	20010508
US 6630164	B2	20031007		

PRIORITY APPLN. INFO.: US 2000-202425P P 20000508

AB A method of decreasing atherosclerosis and its complications including but not limited to myocardial infarction, stroke, and peripheral vascular

disease comprising administering to a human or animal an amount of dutasteride sufficient to decrease atherosclerosis and its complications. The effective amount of dutasteride is 0.5 mg orally daily administered as a tablet or via any other method that results in systemic absorption of the drug.

L2 ANSWER 30 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:653717 CAPLUS
DOCUMENT NUMBER: 136:31864
TITLE: Pharmacokinetic parameters and mechanisms of inhibition of rat type 1 and 2 steroid 5α-reductases: determinants for different in vivo activities of GI198745 and finasteride in the rat
AUTHOR(S): Darren Stuart, J.; Lee, F. W.; Simpson Noel, D.; Kadwell, S. H.; Overton, L. K.; Hoffman, C. R.; Kost, T. A.; Tippin, T. K.; Yeager, R. L.; Batchelor, K. W.; Neal Bramson, H.
CORPORATE SOURCE: Division of Biochemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Biochemical Pharmacology (2001), 62(7), 933-942
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The interaction of baculovirus expressed rat steroid 5α-reductase types 1 and 2 (r5AR1 and r5AR2) with 17β-N-(2,5-bis(trifluoromethyl)phenyl)carbamoyl-4-aza-5α-androst-1-en-3-one (GI198745) was investigated at pH 7 and 37°. This 5α-reductase inhibitor was found previously to be a time-dependent inhibitor of the 2 human 5α-reductase isoenzymes. In contrast, the authors demonstrate in the present study that although GI198745 is a potent time-dependent inhibitor of r5AR2, it is a classical rapid-equilibrium inhibitor of r5AR1. This type of behavior with human and rat 5α-reductases was shown for the inhibitor 17β-(N-tert-butylcarbamoyl)-4-aza-5α-androst-1-en-3-one (finasteride), a current therapy for benign prostatic hyperplasia. Inhibition of r5AR1 by GI198745 was competitive with testosterone and followed Michaelis-Menten kinetics with a Ki value of 0.3 nM. Data for the inhibition of r5AR2 by GI198745 were consistent with a 2-step mechanism, where Ki is the dissociation constant for an initial enzyme-inhibitor complex and k3 is the rate constant for the 2nd slow step. The pseudo-bimol. rate constant (k3/Ki) for the association of GI198745 with r5AR2 was (2.0) + 107 M-1 sec-1. The high affinity of this inhibitor for r5AR2 was further demonstrated by the inability of the enzyme-inhibitor complex to dissociate after approx. 7 days of dialysis at 4°. Both GI198745 and finasteride appear to inactivate r5AR2 by apparent irreversible modification, but are classical, reversible inhibitors of r5AR1. Therefore, the authors hypothesize that because of its pharmacokinetic parameters and increased potency against r5AR1, GI198745 is more effective than finasteride in preventing the growth of the rat prostate.
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 31 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:617820 CAPLUS
DOCUMENT NUMBER: 135:175361
TITLE: Treatment or prevention of prostate cancer with a COX-2 selective inhibiting drug
INVENTOR(S): Waldstreicher, Joanne; Morrison, Briggs W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060365	A1	20010823	WO 2001-US4655	20010213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1259237	A1	20021127	EP 2001-910637	20010213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522790	T2	20030729	JP 2001-559462	20010213
US 2001041713	A1	20011115	US 2001-784878	20010216

PRIORITY APPLN. INFO.: US 2000-183204P P 20000217
WO 2001-US4655 W 20010213

AB A COX-2 selective inhibiting drug is disclosed as useful in treating or preventing prostate cancer. The compound is used alone or in combination with other drugs.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 32 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:564830 CAPLUS
DOCUMENT NUMBER: 135:132427
TITLE: Treatment or prevention of prostate cancer with a COX-2 selective inhibiting drug
INVENTOR(S): Waldstreicher, Joanne; Morrison, Briggs W.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054688	A1	20010802	WO 2001-US2405	20010125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1253921	A1	20021106	EP 2001-908690	20010125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2001047022	A1	20011129	US 2001-771315	20010126
US 6486204	B2	20021126		

PRIORITY APPLN. INFO.: US 2000-178722P P 20000128

WO 2001-US2405 W 20010125

AB A COX-2 selective inhibiting drug is disclosed as useful in treating or preventing prostate cancer. The compound is used alone or in combination with other drugs.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 33 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:455673 CAPLUS
DOCUMENT NUMBER: 135:207322
TITLE: Linear relationships between the ligand binding energy and the activation energy of time-dependent inhibition of steroid 5α-reductase by Δ1-4-azasteroids
AUTHOR(S): Tian, Gaochao; Haffner, Curt D.
CORPORATE SOURCE: Department of Molecular Biochemistry, GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Biological Chemistry (2001), 276(24), 21359-21364
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The inhibition of steroid 5α-reductase (5AR) by Δ1-4-azasteroids is characterized by a two-step time-dependent kinetic mechanism where inhibitor combines with enzyme in a fast equilibrium, defined by the inhibition constant Ki, to form an initial reversible enzyme-inhibitor complex, which subsequently undergoes a time-dependent chemical rearrangement, defined by the rate constant k3, leading to the formation of an apparently irreversible, tight-binding enzyme-inhibitor complex. A detailed kinetic anal. of this process with a series of Δ1-4-azasteroids having different C-17 substituents was performed to understand the relationships between the rate of time-dependent inhibition and the affinity of the time-dependent inhibitors for the enzyme. A linear correlation was observed between ln(1/Ki), which is proportional to the ligand binding energy for the formation of the enzyme-inhibitor complex, and ln(1/(ka/Ki)), which is proportional to the activation energy for the inhibition reaction under the second order reaction condition, which leads to the formation of the irreversible, tight-binding enzyme-inhibitor complex. The coefficient of the correlation was -0.88 ± 0.07 for type 1 5AR and -1.0 ± 0.2 for type 2 5AR. In comparison, there was no obvious correlation between ln(1/Ki) and ln(1/k3), which is proportional to the activation energy of the second, time-dependent step of the inhibition reaction. These data are consistent with a model where ligand binding energies provided at C-17 of Δ1-4-azasteroids is fully expressed to lower the activation energy of k3/Ki with little perturbation of the energy barrier of the second, time-dependent step.

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 34 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:246376 CAPLUS
DOCUMENT NUMBER: 135:33596
TITLE: Mass spectral fragmentation reactions of a therapeutic 4-azasteroid and related compounds
AUTHOR(S): Burinsky, D. J.; Williams, J. D.; Thornquest, A. D.; Sides, S. L.
CORPORATE SOURCE: Pharmaceutical Development Division, GlaxoSmithKline, Research Triangle Park, NC, USA
SOURCE: Journal of the American Society for Mass Spectrometry

(2001), 12(4), 385-398
CODEN: JAMSEF; ISSN: 1044-0305
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Mass spectra were acquired for a therapeutic 4-azasteroid (dutasteride), and some related compds., using various ionization conditions (EI, CI, APCI and ESI) in both pos. and neg. ion modes. The ionization and fragmentation behavior of the compound dutasteride, its precursors and several analogs is reported. Pos. atmospheric pressure chemical ionization (APCI+) and pos. electrospray ionization (ESI+) produced distinctive collision-induced dissociation (CID) spectra for the resp. [MH]+ ions of dutasteride. The spectral differences are attributed to ion populations having either different structures or different internal energy distributions (as a consequence of the method of ionization). Irresp. of their origin, the protonated mols. undergo interesting fragmentation reactions when collisionally activated. The identity of the major fragmentation products was confirmed by accurate mass measurement. The neg. APCI mass spectrum of dutasteride displays extensive dehydrohalogenation, apparently due to the thermal component of the APCI process. Some of the resulting radical anions display remarkable stability toward collisional decomposition Details of the fragmentation behavior for the neg. ion species and their relationship to the pos. ion results are discussed.

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 35 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228701 CAPLUS
DOCUMENT NUMBER: 134:247264

TITLE: Treatment of lower urinary tract symptoms with muscarinic and α-adrenergic antagonists and 5α-reductase inhibitors, and pharmaceutical compositions for use therein

INVENTOR(S): Stoner, Elizabeth; Drake, Paul J.; Bach, Mark A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021167	A1	20010329	WO 2000-US25534	20000918

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-155357P P 19990922
OTHER SOURCE(S): MARPAT 134:247264

AB A medical condition in men known as Lower Urinary Tract Symptoms (LUTS) is treated by the administration of a muscarinic receptor antagonist in combination with at least one of a 5α-reductase inhibitor and an α-adrenergic receptor blocker.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 36 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:790272 CAPLUS
DOCUMENT NUMBER: 133:354981
TITLE: Anti-dandruff and conditioning shampoos containing polyalkylene glycols and cationic polymers
INVENTOR(S): Dunlop, David Scott; Guskey, Susan Marie; Leyba, Vicente Eduardo; Royce, Douglas Allan
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066081	A1	20001109	WO 2000-US11829	20000502
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6451300	B1	20020917	US 2000-558447	20000425
EP 1175202	A1	20020130	EP 2000-928694	20000502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543105	T2	20021217	JP 2000-614967	20000502
AU 759514	B2	20030417	AU 2000-46891	20000502

PRIORITY APPLN. INFO.: US 1999-132869P P 19990503
WO 2000-US11829 W 20000502

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair and scalp an effective amount of said compns. The anti-dandruff and conditioning shampoos comprise: (A) 5-50 an anionic surfactant; (B) 0.01-10 a non-volatile conditioning agent; (C) 0.1-4 an anti-dandruff particulate; (D) 0.02-5 at least one cationic polymer; (E) 0.005-1.5 % a polyalkylene glycol corresponding to the formula: H(OCH2-CHR)n-OH (R = H, Me; n = 1,500-120,000); and (F) water. An antidandruff and conditioning shampoo composition containing ammonium laureth sulfate 12, ammonium lauryl sulfate 8, guar hydroxypropyltrimoonium chloride 0.4, PEG-90M (Polyox WSR 301) 0.1, zinc pyrithione 1, 1-decene homopolymer (Puresyn 6) 0.2, trimethylpropane capryl caprylate (Mobil P43) 0.2 dimethicone (Visasil 330,000 csk) 1, ethylene glycol distearate 1, cocamide MEA 0.6, cetyl alc. 0.9, and water q.s. to 100 % was formulated.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 37 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:790271 CAPLUS
DOCUMENT NUMBER: 133:354980
TITLE: Anti-dandruff and conditioning shampoos containing certain cationic polymers

INVENTOR(S): Dunlop, David Scott; Leyba, Vicente Eduardo
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066080	A1	20001109	WO 2000-US11828	20000502
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6649155	B1	20031118	US 2000-558466	20000425
EP 1181008	A1	20020227	EP 2000-928693	20000502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543104	T2	20021217	JP 2000-614966	20000502

PRIORITY APPLN. INFO.: US 1999-132868P P 19990503
WO 2000-US11828 W 20000502

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair an effective amount of said compns. The anti-dandruff and conditioning shampoos comprise: (A) 5-50 an anionic surfactant; (B) 0.01-10 a non-volatile conditioning agent; (C) 0.1-4 an anti-dandruff particulate; (D) 0.02-5 % a cationic guar derivative; (i) wherein said cationic guar derivative has a mol. weight of 50,000-700,000; and (ii) wherein the cationic guar derivative has a charge d. of 0.05-1 meq/g; and (E) water. An antidandruff and conditioning shampoo composition containing ammonium laureth sulfate 11, ammonium lauryl sulfate 5.5, guar hydroxypropyltrimonium chloride 0.25, zinc pyrithione 1, 1-decene homopolymer (Purexyn 6) 0.5, dimethicone 1.5, ethylene glycol distearate 1, cocamide MEA 0.8, cetyl alc., and water q.s. to 100 % was formulated.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 38 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:790264 CAPLUS
DOCUMENT NUMBER: 133:339958
TITLE: Shampoos providing a superior combination of anti-dandruff efficacy and conditioning
INVENTOR(S): Dunlop, David Scott; Boyd, Roberta Atwood; Guskey, Susan Marie; Schwartz, James Robert; Marchetta, Anthony Raymond
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000066072	A1	20001109	WO 2000-US11830	20000502
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG .				
US 2002102228	A1	20020801	US 2000-558465	20000425
EP 1173141	A1	20020123	EP 2000-928695	20000502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543102	T2	20021217	JP 2000-614958	20000502

PRIORITY APPLN. INFO.: US 1999-132867P P 19990503
WO 2000-US11830 W 20000502

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair and scalp an amount of said compns. The anti-dandruff and conditioning shampoos comprise: (A) from about 5 % to about 50 %, by weight, of an anionic surfactant; (B) from about 0.01 % to about 10 %, by weight, of a non-volatile conditioning agent; (C) from about 0.1 % to about 4 %, by weight, of an anti-dandruff agent; (D) from about 0.02 % to about 5 %, by weight, of at least one cationic polymer; and (E) water. The compns. (A) have a bioavailability/coverage index value, as defined herein, of at least about 1.25; (B) have a first conditioning index value, as defined herein, of less than or equal to about 1.0; (C) have a second conditioning index value, as defined herein, of at least 1.5; and (D) have a minimal inhibitory concentration index value, as defined herein, of at least 0.125.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 39 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:528014 CAPLUS
DOCUMENT NUMBER: 133:346313
TITLE: Biochemical and pharmacogenetic dissection of human steroid 5α-reductase type II
AUTHOR(S): Makridakis, Nick M.; di Salle, Enrico; Reichardt, Juergen K. V.
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, and, Institute for Genetic Medicine, Keck School of Medicine of the University of Southern California, Los Angeles, CA, USA
SOURCE: Pharmacogenetics (2000), 10(5), 407-413
CODEN: PHMCEE; ISSN: 0960-314X
PUBLISHER: Lippincott Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Human prostatic steroid 5α-reductase, encoded by the SRD5A2 gene on chromosome band 2p23, catalyzes the irreversible conversion of testosterone to dihydrotestosterone (DHT), the most active androgen in the prostate, with NADPH as its cofactor. This enzyme has never been purified but a number of competitive inhibitors have been developed for this enzyme since increased steroid 5α-reductase activity may cause benign prostatic hypertrophy and prostate cancer. We report here the detailed biochem. and pharmacogenetic dissection of the human enzyme by analyzing 10 missense substitutions and three double mutants which are all naturally found in humans. Nine of these 13 mutants reduce activity (measured as

Vmax) by 20% or more, three increase steroid 5α-reductase by more than 15% and one results in essentially unaltered kinetic properties suggesting that it is a truly neutral ("polymorphic") amino acid substitution. Substantial pharmacogenetic variation among the mutants was also observed when three competitive inhibitors, finasteride, GG745 (dutastcride) and PNU157706, were investigated. Our studies not only define the substrate and cofactor binding sites of human steroid 5α-reductase, but also have significant consequences for the pharmacol. usage of steroid 5α-reductase inhibitors in human patients treated for prostatic conditions.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 40 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:227505 CAPLUS
DOCUMENT NUMBER: 132:260692
TITLE: Methods and pharmaceutical compositions using 5α-reductase inhibitors combined with calcium channel blockers for treating androgen-related conditions
INVENTOR(S): Waldstreicher, Joanne; Wang, Daniel Z.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018402	A1	20000406	WO 1999-US22225	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6268377	B1	20010731	US 1999-401135	19990922
AU 9962638	A1	20000417	AU 1999-62638	19990924

PRIORITY APPLN. INFO.:		
US 1998-102018P	P	19980928
WO 1999-US22225	W	19990924

OTHER SOURCE(S): MARPAT 132:260692

AB The invention provides for the combined use of 5α-reductase inhibitors together with calcium channel blockers for the treatment of benign prostatic hyperplasia (BPH), prostate cancer, prostatitis, hematuria, and other androgen related disorders, including prostatitis and the prevention of prostate cancer. The invention provides a method of treatment which is useful in the treatment of benign prostatic hyperplasia, prostatitis, and/or the prevention and treatment of prostatic cancer, as well as in the treatment of prostatitis and hematuria. The invention also provides a pharmaceutical composition which is useful in the treatment of benign prostatic hyperplasia, prostatitis, hematuria and/or the prevention and treatment of prostatic cancer, wherein the pharmaceutical composition comprises the combination of a 5α-reductase inhibitor and a calcium channel blocking agent.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 41 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:175635 CAPLUS
DOCUMENT NUMBER: 132:203181
TITLE: Methods using prostate-specific antigen (PSA) level determination and 5α-reductase inhibitors for determining and reducing the risk of benign prostatic hyperplasia (BPH)-related urologic events
INVENTOR(S): Stoner, Elizabeth; Waldstreicher, Joanne; Wang, Daniel Z.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000013509	A1	20000316	WO 1999-US20451	19990903
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003069264	A1	20030410	US 1999-388658	19990902
AU 9961373	A1	20000327	AU 1999-61373	19990903

PRIORITY APPLN. INFO.: US 1998-99620P P 19980909
WO 1999-US20451 W 19990903
OTHER SOURCE(S): MARPAT 132:203181
AB The invention is concerned with a method of determining the risk of a urol. event, particularly an event selected from BPH-related surgery and acute urinary retention in a man by measuring the man's serum PSA level. The invention also provides a method of reducing the risk of the urol. event in a man determined to be at risk by the present urol. event risk-determining method
by administration of a 5α-reductase inhibitor, e.g. finasteride.
Also provided is a kit for determining the risk of a urol. event.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 42 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:468543 CAPLUS
DOCUMENT NUMBER: 131:106836
TITLE: Pharmaceutical composition and method for treating dihydroxytestosterone-dependent conditions
INVENTOR(S): Foitl, Daniel
PATENT ASSIGNEE(S): Davitz, Michael, A., USA; Leason, David
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9936030	A2	19990722	WO 1999-US1207	19990119

WO 9936030 A3 19990923
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
AU 9924619 A1 19990802 AU 1999-24619 19990119
PRIORITY APPLN. INFO.: US 1998-7964 19980116
WO 1999-US1207 19990119

AB A pharmaceutical composition for treating DHT dependent conditions including androgenic alopecia is disclosed. An oral dosage form according to the invention includes a therapeutically effective amount of a 5α-Reductase inhibitor and another active compound which binds with androgenic receptors. In a preferred form, the bioavailable concentration of the compound which binds with androgenic receptors is limited or controlled to avoid appreciable anti-androgenic side effects, for example, by providing a controlled (timed or sustained release) coating on that active compound Spironolactone is a particularly preferred compound which binds with androgenic receptors. A preferred dosage form has the ratio of the 5α-Reductase inhibitor to spironolactone in the range of 1:5 to 1:2500. A method for creating an oral dosage form for treating DHT dependent conditions is also disclosed. Patients who took finasteride (5mg/day) in conjunction with 25 mg/day spironolactone showed superior objective and subjective clin. responses in hair regrowth among the treatment group including hair d. and length vs. patients in the control group who took finasteride alone at 5 mg/day. No effect on plasma testosterone or PSA was noted in either group. No appreciable effect on libido, breast tenderness, erectile function, or muscle mass was noted in either group.

L2 ANSWER 43 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:464790 CAPLUS
DOCUMENT NUMBER: 131:280942
TITLE: Validation of a population pharmacokinetic/pharmacodynamic model for 5α-reductase inhibitors
AUTHOR(S): Olsson Gisleskog, Per; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CORPORATE SOURCE: Clinical Pharmacology, GlaxoWellcome Research and Development, Middlesex, UK
SOURCE: European Journal of Pharmaceutical Sciences (1999), 8(4), 291-299
CODEN: EPSCED; ISSN: 0928-0987
PUBLISHER: Elsevier Science Ireland Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A population pharmacokinetic/dynamic model describing the conversion of testosterone to dihydrotestosterone (DHT) by 5α-reductases and the irreversible inhibition of 5α-reductase(s) by finasteride and dutasteride was validated. The model had been developed using data from a single dose study in healthy volunteers and was validated against data from a 28-day repeat dose study in patients with benign prostatic hyperplasia. Validation was carried out by comparing results of Monte Carlo simulations to the observed data, fitting the model to the repeat dose data and comparing with previously derived parameter values, and examining individual predictions of the model for the individuals in the repeat dose study for any bias. Simulations closely predicted the outcome of the repeat dose study, estimated parameters of the pharmacodynamic modeling were

generally close to within 88 to 116% of those from the original model and the individual predictions did not indicate any bias. Thus the model derived from single dose data from healthy volunteers was considered to be valid for the prediction of DHT levels in the patient population after repeated dosing of dutasteride and finasteride.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 44 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:393864 CAPLUS
DOCUMENT NUMBER: 131:53443
TITLE: GI-198745 Glaxo Wellcome
AUTHOR(S): Palomino, Eduardo
CORPORATE SOURCE: Wayne State University, Detroit, MI, 48202, USA
SOURCE: Current Opinion in Central & Peripheral Nervous System Investigational Drugs (1999), 1(2), 253-256
CODEN: COCDFA; ISSN: 1464-844X
PUBLISHER: Current Drugs Ltd.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 31 refs. Glaxo is developing GI-198745, a 5α-reductase inhibitor, as a potential treatment for benign prostatic hyperplasia (BPH) [181294]. This compound entered phase III trials for this indication in Nov. 1997 and MAA and US NDA filings are predicted for 2000 [244813], [270170], [322815]. GI-198745 has commenced phase II trials as a potential treatment for alopecia [290251] and localized prostate cancer [244813]. The compound reduces dihydrotestosterone (DHT) levels by 90% in men at a dose of 0.5 mg/day (Ki ≥ 1 nM), and has exhibited superior efficacy and pharmacokinetics in animal models, compared to finasteride [295987]. In Jan. 1999, Paribas predicted sales of STG 50 million in 2000, rising to STG 200 million in 2003 [317650].
REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 45 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:336495 CAPLUS
DOCUMENT NUMBER: 131:138781
TITLE: Dutasteride: Steroid 5α-reductase inhibitor treatment of BPH
AUTHOR(S): Graul, A.; Silvestre, J.; Castaner, J.
CORPORATE SOURCE: Prous Science, Barcelona, 08080, Spain
SOURCE: Drugs of the Future (1999), 24(3), 246-253
CODEN: DRFUD4; ISSN: 0377-8282
PUBLISHER: Prous Science
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with 30 refs. on the synthesis, pharmacokinetics, pharmacodynamics and clin. pharmacol. of dutasteride used in treatment of benign prostatic hyperplasia.
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 46 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:141216 CAPLUS
DOCUMENT NUMBER: 130:200935
TITLE: Solutions containing azasteroids suitable for soft gelatin capsules
INVENTOR(S): Parr, Alan Frank; Rizzolio, Michele Catherine
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9908684	A2	19990225	WO 1998-EP5192	19980817
WO 9908684	A3	19990610		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9889796	A1	19990308	AU 1998-89796	19980817
EP 1005346	A2	20000607	EP 1998-941422	19980817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9810285	A	20000912	BR 1998-10285	19980817
JP 2002511100	T2	20020409	JP 1999-512807	19980817
MX 9911995	A	20000430	MX 1999-11995	19991217

PRIORITY APPLN. INFO.: GB 1997-17444 A 19970819
WO 1998-EP5192 W 19980817

AB The present invention discloses a novel solution comprising a therapeutically effective amount of a pharmaceutically active azasteroid, PEG, and propylene glycol. In another aspect, the present invention discloses a pharmaceutical composition comprising the solution of the invention. In another aspect, the present invention discloses a gelatin capsule filled with the composition of the present invention. A solution containing 17-β-N-[2,5,-bis(trifluoromethyl)]phenylcarbamoyl-4-aza-5-α-androst-1-en-3-one 0.6, PEG 400 7420.082, propylene glycol 390, polysorbate 80 7.8, and butylated hydroxytoluene 0.78 g was prepared and filled in soft gelatin capsules at 0.1 mg steroid in each for examining the bioavailability.

L2 ANSWER 47 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:136812 CAPLUS
DOCUMENT NUMBER: 130:200932
TITLE: Solubilization of azasteroids with esters
INVENTOR(S): Parr, Alan Frank
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9908666	A2	19990225	WO 1998-EP5194	19980817
WO 9908666	A3	19990415		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9893430	A1	19990308	AU 1998-93430		19980817
ZA 9807392	A	20000217	ZA 1998-7392		19980817
EP 1007010	A2	20000614	EP 1998-946351		19980817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9810458	A	20000905	BR 1998-10458		19980817
JP 2002511101	T2	20020409	JP 1999-512808		19980817
MX 9911970	A	20000430	MX 1999-11970		19991217
PRIORITY APPLN. INFO.:			GB 1997-17428	A	19970819
			WO 1998-EP5194	W	19980817

AB The present invention discloses a novel solution comprising a therapeutically effective amount of a pharmaceutically active azasteroid, and a fatty acid ester of glycerol or propylene glycol. In another aspect, the present invention discloses a pharmaceutical composition comprising the solution of the invention. In another aspect, the present invention discloses a gelatin capsule filled with the composition of the present invention. Capmul MCM was used to prepare fill formulations containing 17β-N-[2,5-bis(trifloromethyl)-phenyl]carbamoyl-4-aza-5α-androst-1-en-3-one for soft gelatin capsules. Clin. studies showed that the relative bioavailability from the capsule was 80-90 %, as compared to 10-20 % for the same amount of steroid in a tablet.

L2 ANSWER 48 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1999:129092 CAPLUS
DOCUMENT NUMBER:	130:346806
TITLE:	The pharmacokinetic modeling of GI198745 (dutasteride), a compound with parallel linear and nonlinear elimination
AUTHOR(S):	Gisleskog, Per Olsson; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CORPORATE SOURCE:	Clinical Pharmacology, Glaxo Wellcome Research and Development, Middlesex, UB6 0HE, UK
SOURCE:	British Journal of Clinical Pharmacology (1999), 47(1), 53-58 CODEN: BCPHBM; ISSN: 0306-5251
PUBLISHER:	Blackwell Science Ltd.
DOCUMENT TYPE:	Journal
LANGUAGE:	English

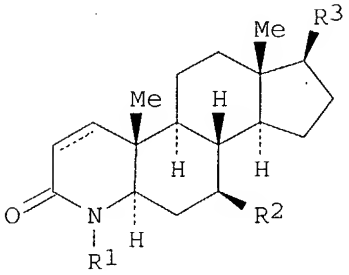
AB The purpose was to characterize the pharmacokinetics of the dual 5α-reductase inhibitor GI198745 (dutasteride) to allow for more accurate predictions of GI198745 concns. after different dosing schedules. In this randomized, single-blind, parallel group study, 32 healthy male volunteers received single oral doses of GI198745 ranging from 0.01 to 40 mg. Data were analyzed by nonlinear mixed effects modeling using NONMEM where both linear and nonlinear pharmacokinetic models were examined The time course of GI198745 serum concns. indicated concentration dependent elimination, with the apparent half-life increasing with dose. Data were best described by a two-compartment model with first order absorption and parallel linear and nonlinear elimination pathways. Drug absorption was rapid, and was followed by short distribution phase. A high volume of distribution (511 l) and a low linear clearance (0.58 L h-1) combined to give a half-life of ≤5 (1-7) weeks at high concns. As concns. declined towards Km (0.96 ng ml-1), the proportion eliminated by the relatively rapid saturable elimination pathway, with a maximum clearance of 6.2 L h-1, increased and the half-life reduced to about 3 days. The estimated inter individual variability for the linear clearance was high (CV=70%). GI198745 pharmacokinetics are well described by a pharmacokinetic model with parallel linear and nonlinear elimination. Simulations using this model show that at daily doses of 0.1 mg the steady state drug concns., and the rate at which these are achieved, are mainly influenced by the nonlinear pathway, while at daily doses above 1 mg they are almost

entirely influenced by the linear pathway.
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 49 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:119816 CAPLUS
DOCUMENT NUMBER: 130:177939
TITLE: Methods and compositions for treating preterm labor
INVENTOR(S): Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 39 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5872126	A	19990216	US 1997-920505	19970829

PRIORITY APPLN. INFO.: US 1997-920505 19970829
OTHER SOURCE(S): MARPAT 130:177939
GI



I

AB The present invention provides for a method of treating preterm labor in a subject in need of such treatment comprising administration of a therapeutically effective amount of an inhibitor of 5α-reductase type 1 to the subject. Azasteroids, e.g. of formula I [R1, R2 = H, alkyl; R3 = alkyl], are prepared as 5α-reductase inhibitors. The present invention further provides for a method of preventing premature labor in a subject susceptible thereto comprising administration of a labor-preventive amount of an inhibitor of 5α-reductase type 1 to the subject. Further, the present invention also relates to a method of reducing the risk of premature labor in a subject at risk therefor. The present invention also provides for a method for stopping labor preparatory (i.e., prior) to Cesarean delivery in a subject in need of such treatment comprising administration of a therapeutically effective amount of an inhibitor of 5α-reductase type 1 to the subject. Further, the present invention provides for pharmaceutical compns. useful in the methods of the present invention, as well as a method of manufacture of a medicament useful for treating pre-term labor and for stopping labor preparatory to Cesarean delivery.
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 50 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:37129 CAPLUS
DOCUMENT NUMBER: 130:262275
TITLE: A model for the turnover of dihydrotestosterone in the presence of the irreversible 5α-reductase inhibitors GI 198745 and finasteride
AUTHOR(S): Gisleskog, Per Olsson; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CORPORATE SOURCE: Division of Clinical Pharmacology, Glaxo Wellcome Research and Development, Middlesex, UB6 0HE, UK
SOURCE: Clinical Pharmacology and Therapeutics (St. Louis) (1998), 64(6), 636-647
CODEN: CLPTAT; ISSN: 0009-9236
PUBLISHER: Mosby, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The objective is to develop a pharmacokinetic-pharmacodynamic model that characterizes the conversion of testosterone to dihydrotestosterone (DHT) by 5α-reductase types 1 and 2 and the irreversible inhibition of 5α-reductase by finasteride, a 5α-reductase type 2 inhibitor and by GI198745 (dutasteride), a potent and specific dual 5α-reductase inhibitor. Healthy men (n = 48) received doses of 0.1 to 40 mg GI198745 (n = 4 subjects per dose), 5 mg finasteride (n = 8), or placebo (n = 8) in a parallel-group study. Plasma concns. of GI198745, finasteride, and DHT were measured frequently up to 8 wk after dosing. Models were fitted with mixed-effects modeling with the NONMEM program. The pharmacodynamics were well described with a model that accounted for the rates of DHT formation and elimination, 5α-reductase turnover, relative capacity of the 2 5α-reductase isoenzymes, and the rates of irreversible inhibition of one (finasteride) or both (GI198745) types of 5α-reductase. The model indicated that type 2 5α-reductase contributed approx. 80% of plasma DHT. GII98745 was about 3-fold more potent than finasteride on 5α-reductase type 2. Nearly full blockade of both isoenzymes was achieved at doses of 10 mg or more GII98745, although the potency of this agent on 5α-reductase type 1 was less than on type 2. A physiol. based model for the turnover and irreversible inhibition of 5α-reductase and for formation and elimination of DHT described the data well. This model helps explain differences in the rates of onset and offset of effect and offers a way to determine the relative potency of the irreversible 5α-reductase inhibitors.
REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 51 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:698844 CAPLUS
DOCUMENT NUMBER: 130:104595
TITLE: Discovery and development of GG745, a potent inhibitor of both isoenzymes of 5α-reductase
AUTHOR(S): Frye, Stephen V.; Bramson, H. Neal; Hermann, David J.; Lee, Frank W.; Sinhababu, Achintya K.; Tian, Gaochao
CORPORATE SOURCE: Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SOURCE: Pharmaceutical Biotechnology (1998), 11(Integration of Pharmaceutical Discovery and Development), 393-422
CODEN: PHBIEB; ISSN: 1078-0467
PUBLISHER: Plenum Publishing Corp.
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review with refs. The role of 5α-reductase in normal physiol., pathophysiol. of dihydrotesterone, clin. effects of a type-2-selective 5α-reductase inhibitor, discovery and pharmacol. of GG 745, etc.,

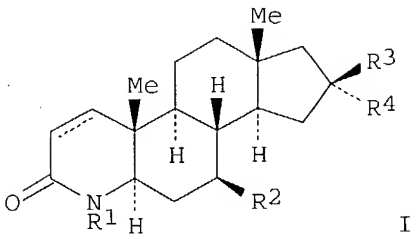
are discussed.
REFERENCE COUNT: 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 52 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:571414 CAPLUS
DOCUMENT NUMBER: 129:314665
TITLE: Rapid development of reagent monoclonal antibodies to support drug discovery and development
AUTHOR(S): Wring, S. A.; Kilpatrick, K. E.; Waterhouse, I.; Carr, R. M.; Hochel, R. M.; Jenner, W. N.; Serabjit-Singh, C.
CORPORATE SOURCE: Glaxo Wellcome Research Inc., Research Triangle Park, NC, 27709, USA
SOURCE: Methodological Surveys in Bioanalysis of Drugs (1998), 25(Drug Development Assay Approaches), 181-189
CODEN: MSBDE6
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A novel and rapid technique is described for the production of reagent mAb's in .apprx.30 days; this contrasts with conventional production techniques that typically require 3-9 mo. Methods and data are presented from programs to produce Ab's to two drug haptens. The authors consider that the rapidity of this production technique will have a marked impact on increasing the value of reagent mAb's during drug research and development programs.
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 53 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402269 CAPLUS
DOCUMENT NUMBER: 129:86008
TITLE: Methods and compositions for preventing and treating bone loss
INVENTOR(S): Fuh, Vivian L.; Kaufman, Keith D.; Waldstreicher, Joanne
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825463	A1	19980618	WO 1997-US22045	19971205
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5945412	A	19990831	US 1997-984425	19971203
AU 9853691	A1	19980703	AU 1998-53691	19971205

PRIORITY APPLN. INFO.: US 1996-32634P P 19961209
GB 1997-293 A 19970108
WO 1997-US22045 W 19971205
OTHER SOURCE(S): MARPAT 129:86008
GI



I

AB The present invention provides for a method of inhibiting bone loss in a subject in need of such treatment comprising administration to the subject of a therapeutically effective amount of an androstane I [R1, R2 = H, alkyl; one of R3 and R4 = H, Me, the other = NH2, CN, F, Me, carbamoyl, (un)substituted OH, SH, CHO,CO2H, acylamino, carbamoyloxy, ureido; R3R4 = O, alkylene]. Formulations containing 3-oxo-4-aza-7-methyl-16β-(4-methylphenoxy)-5α-androst-1-ene, 3-oxo-4-aza-4,7β-dimethyl-16β-phenoxy-5α-androstane, and 3-oxo-4-aza-4,7β-dimethyl-16β-(4-chlorophenoxy)-5α-androstane and, optionally, a growth hormone secretagogue, an estrogen, a bisphosphonate, or an antriestrogenic antiresorptive agent, are described.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 54 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:169455 CAPLUS

DOCUMENT NUMBER: 128:230564

TITLE: Preparation and pharmaceutical compositions of androstanes and pregnanes as 5α-reductase inhibitors for preventing preterm labor

INVENTOR(S): Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne

SOURCE: PCT Int. Appl., 129 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

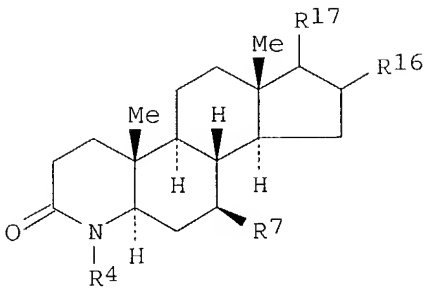
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809632	A1	19980312	WO 1997-US15504	19970903
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9742485	A1	19980326	AU 1997-42485	19970903

PRIORITY APPLN. INFO.: US 1996-25519P P 19960906
GB 1996-24171 A 19961119
WO 1997-US15504 W 19970903

OTHER SOURCE(S): MARPAT 128:230564

GI



I

AB Aza-androstanes and pregnanes such as I [R4 = R7 = H, alkyl; R16 = H, OH, F, CN, alkyl, alkoxy, alkylidenyl, aryloxy, alkylthio, arylthio, heteroaryloxy, etc.; R17 = H, alkyl, alkylidenyl, alkoxy, aryloxy, carbamoyl, alkylthio, arylthio, heteroaryloxy, etc.; 1,2-, 5,10-saturated, 1,2-, 5,10-unsatd.] were prepared as 5α-reductase inhibitors for treatment of preterm labor. Thus, 7β,20-dimethyl-4-aza-5α-pregn-17-en-3-one was prepared starting from pregnenolone acetate. The prepared compds. where tested for 5α-reductase types 1 and 2 inhibitory activity and pharmaceutical compns. of the prepared compds. were presented.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L2 ANSWER 55 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:645681 CAPLUS
DOCUMENT NUMBER: 127:314482
TITLE: Unique preclinical characteristics of GG745, a potent dual inhibitor of 5α-reductase
AUTHOR(S): Bramson, H. Neal; Hermann, David; Batchelor, Kenneth W.; Lee, Frank W.; James, Michael K.; Frye, Stephen V.
CORPORATE SOURCE: Division of Biochemistry, Glaxo Wellcome Research Institute, Research Triangle Park, NC, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1997), 282(3), 1496-1502
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Selective inhibition of type 2 5α-reductase has been shown to be efficacious in the treatment of benign prostatic hyperplasia. Pharmacokinetic and pharmacodynamic results are reported of treatment with a potent inhibitor of both 5α-reductase isoenzymes, GG745, in rats, dogs and men. In the rat, GG745 has a similar effect on DHT-driven prostatic growth as finasteride, another dual 5α-reductase inhibitor in this species. However, GG745 appears to be more potent in the rat, a result that likely reflects the greater inherent potency and terminal half-life of GG745 (14 h) compared with that of finasteride (1 h). These pharmacokinetic differences are also maintained in the dog (65 and 4 h for GG745 and finasteride, resp.). From these results, the literature, and in vitro studies, we estimated doses of GG745 likely to prove efficacious in reducing DHT levels in man. These estimated values were predictive of single-dose effects of GG745 in man. Results from single-dose evaluations in man indicate that GG745 has a terminal half-life of .apprx.240 h, and single doses of >10 mg decreased DHT levels significantly more than did single 5-mg doses of finasteride. These data support the hypothesis that a mol. (GG745) that effectively inhibits both 5α-reductases will lower serum DHT levels significantly more than a mol. that inhibits only a single 5α-reductase isoenzyme (e.g., finasteride, a selective

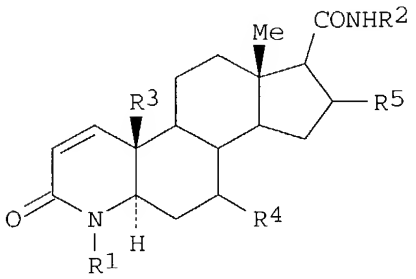
inhibitor of the type 2 enzyme in man).

L2 ANSWER 56 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:329289 CAPLUS
DOCUMENT NUMBER: 126:301795
TITLE: Method of preventing androgenetic alopecia with substituted 4-aza-5α-androst-1-ene-3-one 5-alpha reductase inhibitors
INVENTOR(S): Gormley, Glenn J.; Kaufman, Keith D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9711702	A1	19970403	WO 1996-US15164	19960923
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2231434	AA	19970403	CA 1996-2231434	19960923
AU 9670782	A1	19970417	AU 1996-70782	19960923
EP 859617	A1	19980826	EP 1996-931671	19960923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11513380	T2	19991116	JP 1996-513521	19960923

PRIORITY APPLN. INFO.:			
US 1995-4421P	P	19950927	
GB 1996-2834	A	19960213	
WO 1996-US15164	W	19960923	

OTHER SOURCE(S): MARPAT 126:301795
GI



I

AB A method for preventing androgenetic alopecia (male pattern baldness) and promoting hair growth by administering 5α-reductase 2 inhibitors is presented. The 5α-reductase 2 inhibitors have e.g. structural formula I [R1 = H, Me, Et; R2 = hydrocarbon radical selected from (un)substituted straight/branched chain C1-12 alkyl and monocyclic aryl; R' = H, Me; R'' = H, β-methyl; and R''' = H, α-Me, β-methyl] or a pharmaceutically acceptable salt thereof. Oral and topical administration of substituted 4-aza-5α-androst-1-ene-3-one 5-alpha reductase inhibitors is proposed and some phys. characterization and preparation (for fanasteride form I and II) data are presented.

L2 ANSWER 57 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:637682 CAPLUS
DOCUMENT NUMBER: 125:309040
TITLE: Preparation and formulation of an androstenone derivative for treatment of androgen-related diseases
INVENTOR(S): Batchelor, Kenneth W.; Frye, Stephen V.; Dorsey, George F., Jr.; Mook, Robert A., Jr.
PATENT ASSIGNEE(S): Glaxo Wellcome Inc., USA
SOURCE: U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 123,280, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5565467	A	19961015	US 1995-405120	19950316
ZA 9407118	A	19950526	ZA 1994-7118	19940915
ZA 9407119	A	19950526	ZA 1994-7119	19940915
CA 2170047	AA	19950323	CA 1994-2170047	19940916
CN 1131424	A	19960918	CN 1994-193410	19940916
CN 1057771	B	20001025		
HU 73850	A2	19960930	HU 1996-656	19940916
HU 220060	B	20011028		
EP 783001	A1	19970709	EP 1997-200658	19940916
EP 783001	B1	19991117		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 162199	E	19980115	AT 1994-929828	19940916
ES 2113127	T3	19980416	ES 1994-929828	19940916
IL 110978	A1	19990126	IL 1994-110978	19940916
CZ 286069	B6	20000112	CZ 1996-745	19940916
HR 940563	B1	20001031	HR 1994-940563	19940916
US 5846976	A	19981208	US 1996-708167	19960822
GR 3032198	T3	20000427	GR 1999-403332	19991222

PRIORITY APPLN. INFO.: US 1993-123280 B2 19930917
US 1993-136515 A 19931012
EP 1994-928605 A3 19940916
US 1995-405120 A3 19950316

AB The present invention relates to the compound 17β-N-(2,5-bis(trifluoromethyl))phenylcarbamoyl-4-aza-5α-androst-1-en-3-one, solvates thereof, its preparation, intermediates used in its preparation, pharmaceutical formulations thereof and its use in the treatment of androgen-responsive and -mediated diseases (no data).

L2 ANSWER 58 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:171150 CAPLUS
DOCUMENT NUMBER: 124:249630
TITLE: 4-Aza-3-oxo-5α-androst-1-ene-17β-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5α-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5α-Reductase Inhibitory Potency. [Erratum to document cited in CA123:187677]
AUTHOR(S): Bakshi, Raman K.; Rasmusson, Gary H.; Patel, Gool F.; Mosley, Ralph T.; Chang, Benedict; Ellsworth, Kenneth; Harris, Georgianna S.; Tolman, Richard L.
CORPORATE SOURCE: USA
SOURCE: Journal of Medicinal Chemistry (1996), 39(5), 1192
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The errors were not reflected in the abstract or the index entries.

L2 ANSWER 59 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:726591 CAPLUS
DOCUMENT NUMBER: 123:187677
TITLE: 4-Aza-3-oxo-5α-androst-1-ene-17β-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5α-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5α-Reductase Inhibitory Potency
AUTHOR(S): Bakshi, Raman K.; Rasmusson, Gary H.; Patel, Gool F.; Mosley, Ralph T.; Chang, Benedict; Ellsworth, Kenneth; Harris, Georgianna S.; Tolman, Richard L.
CORPORATE SOURCE: Department of Medicinal Chemical Research Molecular Systems and Biochemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SOURCE: Journal of Medicinal Chemistry (1995), 38(17), 3189-92
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Synthesis and in vitro human type 1 and type 2 5α-reductase inhibitory activity of 4-aza-5α-androst-1-en-3-one-17β-N-arylcarboxamides is discussed. The authors have shown that: (a) anilides bind most favorably to both type 1 and type 2 isoenzymes in a trans conformation; (b) introduction of a F or CF3 group at the ortho position leads to increase in type 1 inhibitory potency; (c) good type 1 inhibitory potency is seen with the α-naphthyl imide (14a) and meta biphenyl amide (13b); (d) these azasteroids are time-dependent inhibitors of human type 1 and type 2 enzyme and are far more potent than the fixed-time assay results would imply. Furthermore, the authors have not only shown the important differences in the binding pocket of type 1 and type 2 enzyme around C-17, but have also demonstrated that compds. could be optimized to potent dual inhibitors of human type 1 and type 2 5α-reductase. Azasteroid 7 has shown in vivo efficacy in reduction of prostate size in systemically treated dogs.

L2 ANSWER 60 OF 60 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:662472 CAPLUS
DOCUMENT NUMBER: 123:56393
TITLE: Androstenone derivative
INVENTOR(S): Batchelor, Kenneth William; Frye, Stephen Vernon
PATENT ASSIGNEE(S): Glaxo Inc., USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507927	A1	19950323	WO 1994-US10530	19940916

W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ZA 9407118	A	19950526	ZA 1994-7118	19940915	
ZA 9407119	A	19950526	ZA 1994-7119	19940915	
CA 2170047	AA	19950323	CA 1994-2170047	19940916	
AU 9478751	A1	19950403	AU 1994-78751	19940916	
AU 690925	B2	19980507			
EP 719278	A1	19960703	EP 1994-929828	19940916	
EP 719278	B1	19980114			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
CN 1131424	A	19960918	CN 1994-193410	19940916	
CN 1057771	B	20001025			
HU 73850	A2	19960930	HU 1996-656	19940916	
HU 220060	B	20011028			
JP 09502731	T2	19970318	JP 1994-509391	19940916	
JP 2904310	B2	19990614			
EP 783001	A1	19970709	EP 1997-200658	19940916	
EP 783001	B1	19991117			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
AT 162199	E	19980115	AT 1994-929828	19940916	
ES 2113127	T3	19980416	ES 1994-929828	19940916	
IL 110978	A1	19990126	IL 1994-110978	19940916	
RU 2140926	C1	19991110	RU 1996-108410	19940916	
CZ 286069	B6	20000112	CZ 1996-745	19940916	
HR 940563	B1	20001031	HR 1994-940563	19940916	
PL 180002	B1	20001130	PL 1994-313492	19940916	
SK 281869	B6	20010806	SK 1996-347	19940916	
RO 117455	B1	20020329	RO 1996-537	19940916	
FI 9601231	A	19960315	FI 1996-1231	19960315	
NO 9601080	A	19960315	NO 1996-1080	19960315	
GR 3032198	T3	20000427	GR 1999-403332	19991222	

PRIORITY APPLN. INFO.:	US 1993-123280	A	19930917
	US 1993-136515	A	19931012
	EP 1994-928605	A3	19940916
	WO 1994-US10530	W	19940916

OTHER SOURCE(S): CASREACT 123:56393

AB The present invention relates to 17β-N-[2,5-bis(trifluoromethyl)phenyl]carbamoyl-4-aza-5α-androst-1-en-3-one (I), solvates thereof, its preparation, intermediates used in its preparation, pharmaceutical formulations thereof and its use in the treatment of androgen-responsive and -mediated diseases. Thus, 3-oxo-4-androstene-17β-carboxylic acid was carbamoylated, subjected to oxidative cleavage of the A-ring, recyclized with NH3, and reduced to give I, which is a strong selective inhibitor of testosterone 5α-reductase.

=> d his

(FILE 'HOME' ENTERED AT 09:48:19 ON 08 APR 2004)

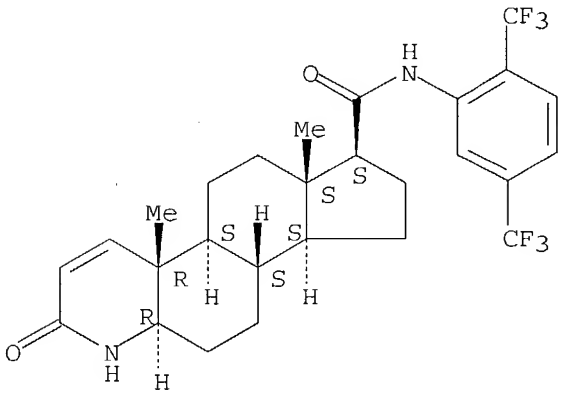
FILE 'REGISTRY' ENTERED AT 09:48:25 ON 08 APR 2004
E DUTASTERIDE/CN
L1 1 S E3

FILE 'CAPLUS' ENTERED AT 09:49:36 ON 08 APR 2004
L2 60 S L1
L3 9095 S CRYSTALLINE FORM OR AMORPHOUS FORM
L4 0 S L2 AND L3

=> d l1
YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:y

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2004 ACS on STN
RN 164656-23-9 REGISTRY
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 4-Azaandrost-1-ene-17-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-3-oxo-, (5α,17β)-
OTHER NAMES:
CN Avodart
CN **Dutasteride**
CN GG 745
CN GI 198745
FS STEREOSEARCH
MF C27 H30 F6 N2 O2
SR CA
LC STN Files: ADISINSIGHT, ADISNEWS, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT, CIN, DDFU, DIOGENES, DRUGU, EMBASE, IMSDRUGNEWS, IMSPATENTS, IMSRESEARCH, IPA, MRCK*, PHAR, PROMT, PS, SYNTHLINE, TOXCENTER, USAN, USPAT2, USPATFULL
(*File contains numerically searchable property data)
Other Sources: WHO

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

60 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
60 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=>